EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	532	(546/121).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:13
L2	1	l1 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17
L3	295	(546/83).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17
L4	1	l3 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 13:17

10/582,609

10/582,609

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2289	(544/333).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:30
L2	0	l1 and dihydropyrano and imidazo and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L3	0	l1 and dihydropyrano and pyridine and derivative!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L4	0	l1 and dihydropyrano and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:31
L5	0	l1 and dihydropyrano! and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L6	0	l1 and dihydropyrano!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L7	611	l1 and protecting adj group	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:32
L8	433	l7 and pyrimidinyl	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:33
L9	4	l8 and gastric adj acid adj secretion	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:36
L10	524	(544/127).CCLS.	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:36
L11	0	l10 and dihydropyrano and imidazo and pyridine	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:38
L12	12	l10 and gastric adj acid adj secretion	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:38
L13	12	l12 and inhibition	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:39
L14	12	l12 and salt!	US-PGPUB; USPAT; USOCR	OR	OFF	2007/04/02 16:39

10/582609
formula (5)
+ coreact

50613257

```
=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  37.86     212.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -4.68      -4.68

FILE 'REGISTRY' ENTERED AT 11:06:20 ON 02 APR 2007
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:     1 APR 2007  HIGHEST RN 928822-97-3
DICTIONARY FILE UPDATES:    1 APR 2007  HIGHEST RN 928822-97-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\new10582609.str

L7      STRUCTURE UPLOADED

=> d 17
L7 HAS NO ANSWERS
L7              STR
```

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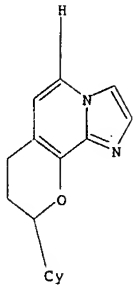
50613257




```
Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 11:06:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       56 TO ITERATE

100.0% PROCESSED       56 ITERATIONS                              11 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          672 TO     1568
PROJECTED ANSWERS:              22 TO      418

L8          11 SEA SSS SAM L7

=> s l7 full
FULL SEARCH INITIATED 11:06:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1143 TO ITERATE

100.0% PROCESSED     1143 ITERATIONS                            189 ANSWERS
SEARCH TIME: 00.00.01

L9          189 SEA SSS FUL L7

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 172.10     384.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -4.68

FILE 'CAPLUS' ENTERED AT 11:06:55 ON 02 APR 2007
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FILE COVERS 1907 - 2 Apr 2007 VOL 146 ISS 15
FILE LAST UPDATED: 1 Apr 2007 (20070401/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l9
L10          25 L9

=> s l9 full
L11          25 L9

=> process and l11
PROCESS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> s l10 and process
       2402461 PROCESS
       1634263 PROCESSES
       3585858 PROCESS
                 (PROCESS OR PROCESSES)
L12           1 L10 AND PROCESS

=> s l9 full
L13          25 L9

=> s l13/prep
FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a
field code.  You can only add a field code to a term that has no field
code appended to it.

=> s l9/prep full
             25 L9
       4381572 PREP/RL
L14          17 L9/PREP
                 (L9 (L) PREP/RL)

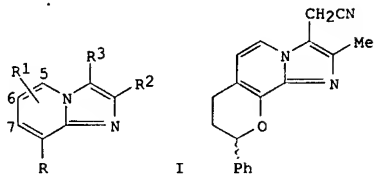
=> s l14 and cycliz?
        167765 CYCLIZ?
L15           2 L14 AND CYCLIZ?

=> d ibib abs hitstr tot
```


L15 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1989:477237 CAPLUS
DOCUMENT NUMBER:	111:77237
TITLE:	Antiulcer agents. 4. Conformational considerations and the antiulcer activity of substituted imidazo[1,2-a]pyridines and related analogs
AUTHOR(S):	Kaminski, James J.; Puchalski, Chester; Solomon, Daniel M.; Rizvi, Razia K.; Conn, David J.; Elliott, Arthur J.; Lovey, Raymond G.; Guzik, Henry; Chiu, P. J. S.; et al.
CORPORATE SOURCE:	Pharm. Res. Div., Schering Res., Bloomfield, NJ, 07003, USA
SOURCE:	Journal of Medicinal Chemistry (1989), 32(8), 1686-700 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 111:77237

GI



I III

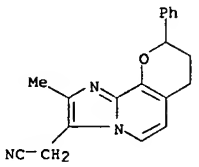
AB Definition of the interrelationship between the conformational characteristics of a series of substituted imidazo[1,2-a]pyridines and their antiulcer activity was investigated by examining the conformational properties of imidazo[1,2-a]pyridine I [R = PhCH2O, R1 = H, R2 = Me, R3 = CH2CN (II)], by using a variety of exptl. and theor. methods. The result of these studies was the identification of two distinctly different candidates, designated the folded and the extended conformation, resp., to represent the two possible min.-energy conformations of II. In order to select the biol. relevant conformer, a group of 3-substituted 2-methylimidazo[1,2-a]pyridines, having either a cis- or a trans-2-phenylethenyl substituent at the 8-position, were designed as conceptually simple and synthetically accessible semirigid analogs of the resp. candidate conformers. Gastric antisecretory activity was found to reside only in the trans isomers I (R = trans-PhCH:CH, R1 = H, R2 = Me; R3 = Me, CH2CN, NH2), which mimic the extended conformation. This observation led to the construction of imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile (III), a rigid tricyclic analog that is effectively locked in the extended conformation and that exhibited an antiulcer profile comparable to that of prototype II. These results unequivocally demonstrate that, in accord with expectation for a drug operating at a specific receptor, the conformational characteristics of the mol. have a substantial effect in determining its antiulcer activity. More precisely, it has been demonstrated that it is the extended conformation of II that represents the bioactive form of the drug. These results constitute the basis for a mol. probe that should aid in the investigation of the as yet uncharacterized gastric proton pump enzyme (H+/K+-ATPase), by means of

which II and its analogs presumably exert their pharmacol. actions.

IT 93749-57-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to hydrochloride salt)

RN 93749-57-6 CAPLUS

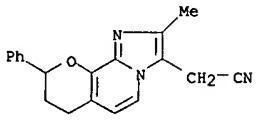
CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile, 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)



IT 93749-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and gastric antisecretory and cytoprotective activity of)

RN 93749-61-2 CAPLUS

CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile, 8,9-dihydro-2-methyl-9-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L15 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:6490 CAPLUS
DOCUMENT NUMBER: 102:6490
TITLE: Antiulcer tricyclic imidazo[1,2-a]pyridines
INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Puchalski, Chester
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4468400	A	19840828	US 1982-450862	19821220

PRIORITY APPLN. INFO.: US 1982-450862 19821220
OTHER SOURCE(S): CASREACT 102:6490; MARPAT 102:6490

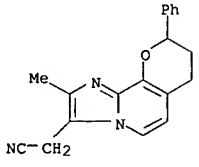
GI For diagram(s), see printed CA Issue.

AB Tricyclic imidazopyridines I [R = H, alkyl, halo, HO, alkoxy, CF3; R1 = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R2 = OH, alkyl, hydroxyalkyl, R3 = H, alkyl, CH2CN, hydroxyalkyl, NO, CH2NC, NR4R5; R4, R5 = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2], useful in the treatment of peptic ulcer diseases (no data), were prepared Thus, imidazopyridineacetonitrile II (R6 = H) was condensed with Me2N+:CH2I- to give II (R6 = Me2NCH2), which was treated with PhR7C:CH2 (R7 = 4-morpholinyl) and hydrolyzed to give II (R6 = PhCOCH2CH2). The latter compound was reduced with NaBH4 to give the diol which was cyclized with BF3·OEt2 to give pyranoimidazopyridine III.

IT 93749-57-6P 93749-61-2P 93749-62-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

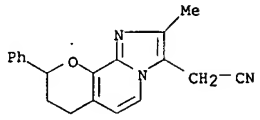
RN 93749-57-6 CAPLUS

CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile, 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)



RN 93749-61-2 CAPLUS

CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile, 8,9-dihydro-2-methyl-9-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

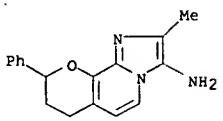


● HCl

RN 93749-62-3 CAPLUS

CN 7H-Imidazo[1,2-a]pyrano[2,3-c]pyridin-3-amine, 8,9-dihydro-2-methyl-9-phenyl- (9CI) (CA INDEX NAME)

50613257



=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	19.86	404.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-6.24

FILE 'STNGUIDE' ENTERED AT 11:10:49 ON 02 APR 2007

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Mar 30, 2007 (20070330/UP).

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  DEC 18  CA/CAplus pre-1967 chemical substance index entries enhanced
                  with preparation role
 NEWS  4  DEC 18  CA/CAplus patent kind codes updated
 NEWS  5  DEC 18  MARPAT to CA/CAplus accession number crossover limit increased
                  to 50,000
 NEWS  6  DEC 18  MEDLINE updated in preparation for 2007 reload
 NEWS  7  DEC 27  CA/CAplus enhanced with more pre-1907 records
 NEWS  8  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS  9  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS 10  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS 11  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS 12  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS 13  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS 14  JAN 29  PHAR reloaded with new search and display fields
 NEWS 15  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 16  FEB 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 17  FEB 15  RUSSIAPAT enhanced with pre-1994 records
 NEWS 18  FEB 23  KOREAPAT enhanced with IPC 8 features and functionality
 NEWS 19  FEB 26  MEDLINE reloaded with enhancements
 NEWS 20  FEB 26  EMBASE enhanced with Clinical Trial Number field
 NEWS 21  FEB 26  TOXCENTER enhanced with reloaded MEDLINE
 NEWS 22  FEB 26  IFICDB/IFIPAT/IFIUDB reloaded with enhancements
 NEWS 23  FEB 26  CAS Registry Number crossover limit increased from 10,000
                  to 300,000 in multiple databases
 NEWS 24  MAR 15  WPIDS/WPIX enhanced with new FRAGHITSTR display format
 NEWS 25  MAR 16  CASREACT coverage extended
 NEWS 26  MAR 20  MARPAT now updated daily
 NEWS 27  MAR 22  LWPI reloaded
 NEWS 28  MAR 30  RDISCLOSURE reloaded with enhancements
 NEWS 29  MAR 30  INPADOCDB will replace INPADOC on STN

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available
```

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FILE 'HOME' ENTERED AT 11:42:35 ON 02 APR 2007

=> file casreact

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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FILE CONTENT:1840 - 1 Apr 2007 VOL 146 ISS 15

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```
**************************************************************
*                                                            *
*     CASREACT now has more than 12 million reactions        *
*                                                            *
**************************************************************
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
Uploading C:\Program Files\Stnexp\Queries\10582609b.str

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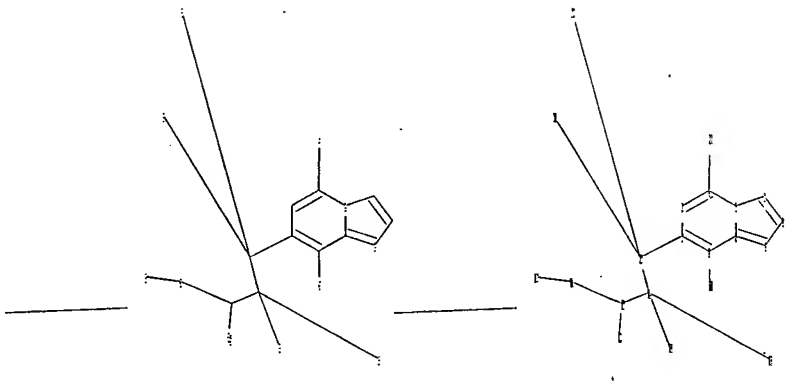

chain nodes :
10 11 13 14 15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-11 2-15 4-22 10-13 13-14 13-16 14-15 14-18 14-19 15-20 15-21 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-11 2-3 3-4 4-5 5-6 5-7 6-9 8-9 10-13 13-16
exact bonds :
2-15 4-22 7-8 13-14 14-15 14-18 14-19 15-20 15-21 16-17
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS
Generic attributes :
10:
Saturation : Unsaturated

fragments assigned product role:
containing 1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

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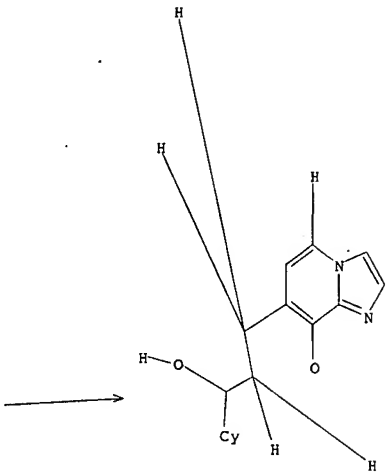
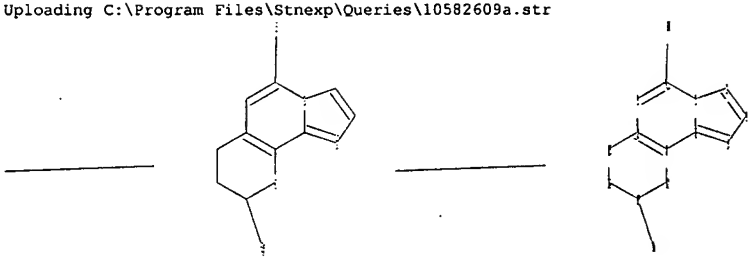


Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10582609a.str



chain nodes :
11 16
ring nodes :
1 2 3 4 5 6 7 8 9 12 13 14 15
chain bonds :
4-11 13-16
ring bonds :
1-2 1-6 1-12 2-3 2-15 3-4 4-5 5-6 5-7 6-9 7-8 8-9 12-13 13-14 14-15
exact/norm bonds :

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50613257

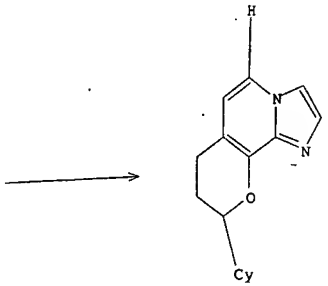
1-2 1-6 1-12 2-3 2-15 3-4 4-5 5-6 5-7 6-9 8-9 12-13 13-14 13-16 14-15

exact bonds :
4-11 7-8
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom
fragments assigned product role:
containing 1

L2 STRUCTURE UPLOADED

=> d 12
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 11:45:01 FILE 'CASREACT'
SCREENING COMPLETE - 46 REACTIONS TO VERIFY FROM 6 DOCUMENTS

100.0% DONE 46 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1 (0 REACTIONS)

=> s l2 full
FULL SEARCH INITIATED 11:45:10 FILE 'CASREACT'
SCREENING COMPLETE - 1130 REACTIONS TO VERIFY FROM 55 DOCUMENTS

100.0% DONE 1130 VERIFIED 10 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

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L4 2 SEA SSS FUL L2 (10 REACTIONS)

=> d ibib abs fqhit tot
'FQHIT' IS NOT A VALID FORMAT FOR FILE 'CASREACT'

The following are valid formats:

ABS -------- GI and AB
ALL -------- BIB, AB, IND, RE, Single-step Reactions
APPS ------- AI, PRAI
BIB -------- AN, plus Bibliographic Data
CAN -------- List of CA abstract numbers without answer numbers
CBIB ------- AN, plus Compressed Bibliographic Data
DALL ------- ALL, delimited (end of each field identified)
IABS ------- ABS, indented with text labels
IALL ------- ALL, indented with text labels
IBIB ------- BIB, indented with text labels
IND -------- Indexing data
IPC -------- International Patent Classifications
ISTD ------- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

MAX -------- Same as ALL
PATS ------- PI, SO
SCAN ------- TI and FCRD (random display, no answer number. SCAN must be entered on the same line as DISPLAY, e.g., D SCAN.)
SSRX ------- Single-Step Reactions (Map, Diagram, and Summary for all single-step reactions)
STD -------- BIB, IPC, and NCL

CRD -------- Compact Display of All Hit Reactions
CRDREF ----- Compact Reaction Display and SO, PY for Reference
FHIT ------- Reaction Map, Diagram, and Summary for first hit reaction
FHITCBIB --- FHIT, AN plus CBIB
FCRD ------- First hit in Compact Reaction Display (CRD) format
FCRDREF ---- First hit in Compact Reaction Display (CRD) format with CA reference information (SO, PY). (Default)
FPATH ------ PATH, plus Reaction Summary for the "long path"
FSPATH ----- SPATH, plus Reaction Summary for the "short path"
HIT -------- Reaction Map, Reaction Diagram, and Reaction Summary for all hit reactions and fields containing hit terms
OCC -------- All hit fields and the number of occurrences of the hit terms in each field. Includes total number of HIT, PATH, SPATH reactions. Labels reactions that have incomplete verifications.
PATH ------- Reaction Map and Reaction Diagram for the "long path". Displays all hit reactions, except those whose steps are totally included within another hit reaction which is displayed
RX --------- Hit Reactions (Map, Diagram, Summary for all hit reactions)
RXG -------- Hit Reaction Graphics (Map and Diagram for all hit reactions)
RXL -------- Hit Reaction Long (Map, Diagram, Summary for all hit reactions)

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50613257

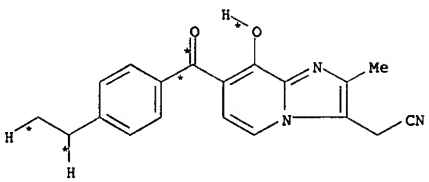
RXS -------- Hit Reaction Summariers (Map and Summary for all hit reactions)
SPATH ------ Reaction Map and Reaction Diagram for the "short path". Displays all single step reactions which contain a hit substance. Also displays those multistep reactions that have a hit substance in both the first and last steps of the reaction, except for those hit reactions whose steps are totally included within another hit reaction which is displayed

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of combinations include: D TI; D BIB RX; D TI, AU, FCRD. The information is displayed in the same order as the specification. All of the formats, except CRD, CRDREF, FHIT, PATH, FPATH, SPATH, FSPATH, FCRD, FCRDREF, HIT, RX, RXG, RXS, SCAN, and OCC, may be used with the DISPLAY command to display the record for a specified Accession Number.

ENTER DISPLAY FORMAT (FCRDREF):fhit

L4 ANSWER 1 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

RX(54) OF 213 ...DT ===> DU...



● HCl

DT

(54)

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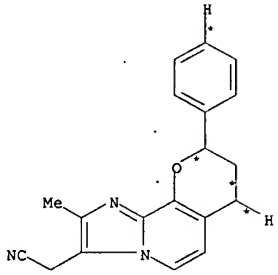

DU

RX(54) RCT DT 121394-50-1

STAGE(1)
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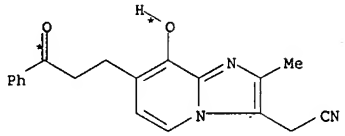
SOL 64-17-5 EtOH, 75-09-2 CH2Cl2

STAGE(2)
RGT DV 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

PRO DU 93749-57-6
NTE sand used in second step

L4 ANSWER 2 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

RX(1) OF 3 A ===> B...



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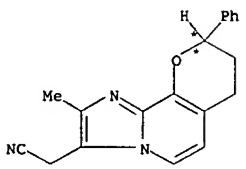
A

(1)

Erich Leeser



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RX(1) RCT A 93749-59-8
PRO B 93749-57-6

=> d ibib abs fhit tot

L4 ANSWER 1 OF 2 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 111:77237 CASREACT
TITLE: Antiulcer agents. 4. Conformational considerations and the antiulcer activity of substituted imidazo[1,2-a]pyridines and related analogs
AUTHOR(S): Kaminski, James J.; Puchalski, Chester; Solomon, Daniel M.; Rizvi, Razia K.; Conn, David J.; Elliott, Arthur J.; Lovey, Raymond G.; Guzik, Henry; Chiu, P. J. S.; et al.
CORPORATE SOURCE: Pharm. Res. Div., Schering Res., Bloomfield, NJ, 07003, USA
SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1686-700
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
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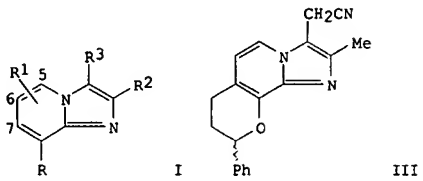
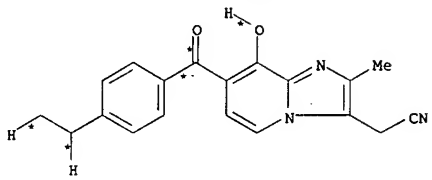


I III

AB Definition of the interrelationship between the conformational characteristics of a series of substituted imidazo[1,2-a]pyridines and their antiulcer activity was investigated by examining the conformational properties of imidazo[1,2-a]pyridine I [R = PhCH2O, R1 = H, R2 = Me, R3 = CH2CN (II)], by using a variety of exptl. and theor. methods. The result of these studies was the identification of two distinctly different candidates, designated the folded and the extended conformation, resp., to

represent the two possible min.-energy conformations of II. In order to select the biol. relevant conformer, a group of 3-substituted 2-methylimidazo[1,2-a]pyridines, having either a cis- or a trans-2-phenylethenyl substituent at the 8-position, were designed as conceptually simple and synthetically accessible semirigid analogs of the resp. candidate conformers. Gastric antisecretory activity was found to reside only in the trans isomers I (R = trans-PhCH:CH, R1 = H, R2 = Me; R3 = Me, CH2CN, NH2), which mimic the extended conformation. This observation led to the construction of imidazo[1,2-a]pyrano[2,3-c]pyridine-3-acetonitrile (III), a rigid tricyclic analog that is effectively locked in the extended conformation and that exhibited an antiulcer profile comparable to that of prototype II. These results unequivocally demonstrate that, in accord with expectation for a drug operating at a specific receptor, the conformational characteristics of the mol. have a substantial effect in determining its antiulcer activity. More precisely, it has been demonstrated that it is the extended conformation of II that represents the bioactive form of the drug. These results constitute the basis for a mol. probe that should aid in the investigation of the as yet uncharacterized gastric proton pump enzyme (H+/K+-ATPase), by means of which II and its analogs presumably exert their pharmacol. actions.

RX(54) OF 213 ...DT ===> DU...



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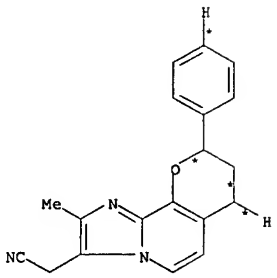
DT (54)



DU

RX(54) RCT DT 121394-50-1

STAGE(1)
RGT C 16940-66-2 NaBH4
SOL 64-17-5 EtOH, 75-09-2 CH2Cl2

STAGE(2)
RGT DV 109-63-7 BF3-Et2O
SOL 75-09-2 CH2Cl2

PRO DU 93749-57-6
NTE sand used in second step

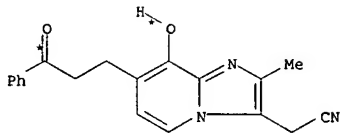
L4 ANSWER 2 OF 2 CASREACT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 102:6490 CASREACT
TITLE: Antiulcer tricyclic imidazo[1,2-a]pyridines
INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Puchalski, Chester
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4468400	A	19840828	US 1982-450862	19821220

PRIORITY APPLN. INFO.: US 1982-450862 19821220
OTHER SOURCE(S): MARPAT 102:6490
GI For diagram(s), see printed CA Issue.
AB Tricyclic imidazopyridines I [R = H, alkyl, halo, HO, alkoxy, CF3; R1 = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R2 = OH, alkyl, hydroxyalkyl, R3 = H, alkyl, CH2CN, hydroxyalkyl, NO, CH2NC, NR4R5; R4, R5 = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2], useful in the treatment of peptic ulcer diseases (no data), were

prepared Thus, imidazopyridineacetonitrile II (R6 = H) was condensed with Me2N+:CH2I- to give II (R6 = Me2NCH2), which was treated with PhR7C:CH2 (R7 = 4-morpholinyl) and hydrolyzed to give II (R6 = PhCOCH2CH2). The latter compound was reduced with NaBH4 to give the diol which was cyclized with BF3·OEt2 to give pyranoimidazopyridine III.

RX(1) OF 3 A ===> B...



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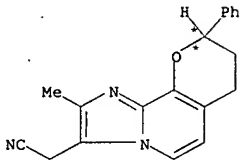

B

RX(1) RCT A 93749-59-8
PRO B 93749-57-6

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FILE 'CASREACT' ENTERED AT 11:42:57 ON 02 APR 2007
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 FULL
L4 2 S L2 FULL

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COST IN U.S. DOLLARS SINCE FILE ENTRY 244.12 TOTAL SESSION 244.33

FULL ESTIMATED COST

50613257

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

STN INTERNATIONAL LOGOFF AT 11:47:50 ON 02 APR 2007

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10/582,609
formula (1)

50613257

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 4	DEC 18	CA/CAplus patent kind codes updated
NEWS 5	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 6	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 7	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS 8	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 9	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 10	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 11	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 12	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 13	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 14	JAN 29	PHAR reloaded with new search and display fields
NEWS 15	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 17	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 18	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 19	FEB 26	MEDLINE reloaded with enhancements
NEWS 20	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 21	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 22	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 23	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 24	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 25	MAR 16	CASREACT coverage extended
NEWS 26	MAR 20	MARPAT now updated daily
NEWS 27	MAR 22	LWPI reloaded
NEWS 28	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 29	MAR 30	INPADOCDB will replace INPADOC on STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 10:52:34 ON 02 APR 2007

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.73	2.73

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STRUCTURE FILE UPDATES: 1 APR 2007 HIGHEST RN 928822-97-3
DICTIONARY FILE UPDATES: 1 APR 2007 HIGHEST RN 928822-97-3

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http://www.cas.org/ONLINE/UG/regprops.html

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L1 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:	ONLINE	**COMPLETE**
	BATCH	**COMPLETE**
PROJECTED ITERATIONS:	8 TO	329
PROJECTED ANSWERS:	1 TO	80

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L2            1 SEA SSS SAM L1

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                                                 ENTRY    SESSION
FULL ESTIMATED COST                             172.10     174.83

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FILE COVERS 1907 - 2 Apr 2007  VOL 146 ISS 15
FILE LAST UPDATED: 1 Apr 2007  (20070401/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

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L5            6 L3

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L6            3 L5 AND PY<2004

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L5   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2005:1049864  CAPLUS
DOCUMENT NUMBER:         143:326367
TITLE:                   Preparation of tricyclic imidazopyridines as
                         inhibitors of gastric acid secretion
INVENTOR(S):             Chiesa, M. Vittoria; Zimmermann, Peter Jan; Brehm,
```



```
                         Christof; Simon, Wolfgang-Alexander; Kromer, Wolfgang;
                         Postius, Stefan; Palmer, Andreas; Buhr, Wilm
PATENT ASSIGNEE(S):      Altana Pharma A.-G., Germany
SOURCE:                  PCT Int. Appl., 108 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2005090358        A2    20050929    WO 2005-EP51211        20050316
     WO 2005090358        A3    20060126
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            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
            SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005223389        A1    20050929    AU 2005-223389         20050316
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     EP 1735318           A2    20061227    EP 2005-717076         20050316
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PRIORITY APPLN. INFO.:                      EP 2004-101092      A  20040317
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OTHER SOURCE(S):         MARPAT 143:326367
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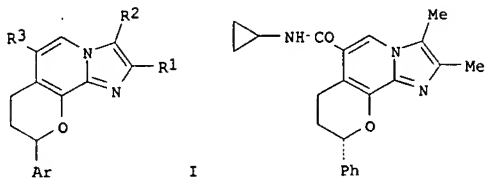


AB Tricyclic imidazopyridines of formula I [R1 = H, alkyl, cycloalkyl, alkoxy, etc.; R2 = H, alkyl, cycloalkyl, alkoxycarbonyl, hydroxyalkyl, OH, (substituted) amino, etc.; R3 = acyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, CN, heterocyclyl, etc.; Ar = mono or bicyclic aromatic such as Ph, naphthyl, pyrrolyl, indolyl, furyl, etc.] are prepared which inhibit the secretion of gastric acid. Thus, II was prepared, and showed 100% inhibition of pentagastrin-stimulated acid secretion in rats at 1

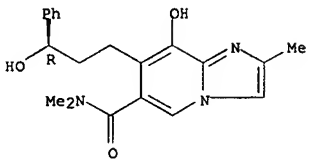
µmol/kg i.d.

IT 865452-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic imidazopyridines as inhibitors of gastric acid secretion)

RN 865452-87-5 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:567127 CAPLUS
DOCUMENT NUMBER: 143:97362
TITLE: Preparation of pyranoimidazopyridines for use as gastric secretion inhibitors
INVENTOR(S): Buhr, Wilm; Chiesa, M. Vittoria; Zimmermann, Peter Jan; Brehm, Christof; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Postius, Stefan; Palmer, Andreas
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058325	A1	20050630	WO 2004-EP53560	20041217
WO 2005058325	A8	20060511		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004298788	A1	20050630	AU 2004-298788	20041217
CA 2549030	A1	20050630	CA 2004-2549030	20041217
EP 1696921	A1	20060906	EP 2004-804904	20041217
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

CN 1889955	A	20070103	CN 2004-80036876	20041217
BR 2004017263	A	20070306	BR 2004-17263	20041217
US 2007066674	A1	20070322	US 2006-582395	20060630
NO 2006003220	A	20060711	NO 2006-3220	20060711
PRIORITY APPLN. INFO.:			EP 2003-29361 A	20031219
			WO 2004-EP53560 W	20041217

OTHER SOURCE(S): MARPAT 143:97362

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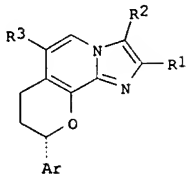


I

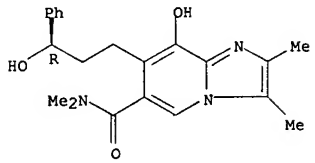
AB Title compds. [I; R1 = H, alkyl, cycloalkyl, alkoxyalkyl, alkoxycarbonyl; R2 = H, alkyl, halo, alkenyl, alkynyl, hydroxyalkyl, cycloalkyl, alkoxycarbonyl; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxycarbonyl, carboxamide; Ar = (substituted) Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridyl, pyrimidinyl, quinolyl, isoquinolyl], were prepared Thus, (9S)-2,3-dimethyl-9-phenyl-7H-8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine-6-carboxylic acid dimethylamide (isolated via chiral chromatog. on a CHIRALPAK AD 20 µM column) at 1 µmol/kg i.d. in perfused rat stomach gave 100% inhibition of acid secretion.

IT 856449-27-9P 856698-40-3P 856698-41-4P
856698-42-5P 856698-43-6P 856698-65-2P
856698-66-3P 856698-67-4P 856698-68-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyranoimidazopyridines as gastric secretion inhibitors)

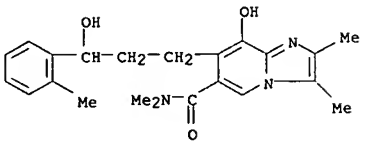
RN 856449-27-9 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

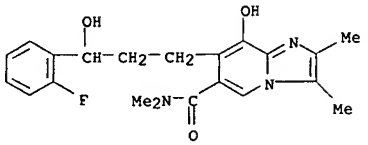
Absolute stereochemistry.



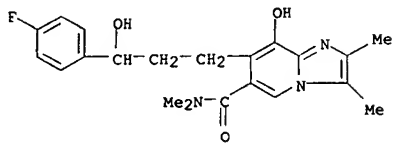
RN 856698-40-3 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[3-hydroxy-3-(2-methylphenyl)propyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



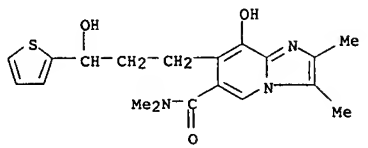
RN 856698-41-4 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 7-[3-(2-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



RN 856698-42-5 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 7-[3-(4-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



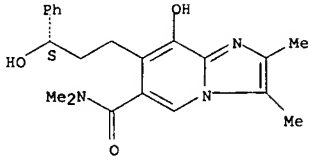
RN 856698-43-6 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[3-hydroxy-3-(2-thienyl)propyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)



Erich Leeser

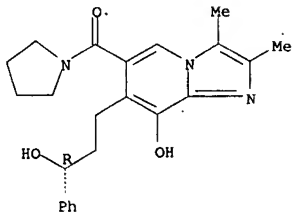
RN 856698-65-2 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3S)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



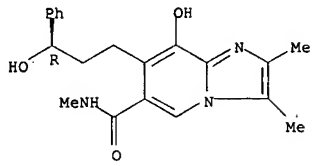
RN 856698-66-3 CAPLUS
CN Pyrrolidine, 1-[[8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-2,3-dimethylimidazo[1,2-a]pyridin-6-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856698-67-4 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,2,3-trimethyl- (9CI) (CA INDEX NAME)

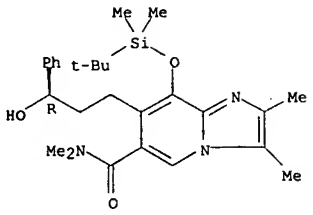
Absolute stereochemistry.



RN 856698-68-5 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Erich Leeser

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:564666 CAPLUS
DOCUMENT NUMBER: 143:97361
TITLE: Preparation of imidazopyridines as intermediates for dihydropyranoimidazopyridines
INVENTOR(S): Zimmermann, Peter Jan; Brehm, Christof; Chiesa, M. vittoria; Buhr, Wilm; Palmer, Andreas; Nettekoven, Ulrike
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

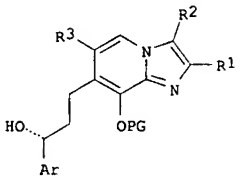
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058894	A1	20050630	WO 2004-EP53562	20041217
WO 2005058894	A8	20060511		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004298453	A1	20050630	AU 2004-298453	20041217
CA 2549860	A1	20050630	CA 2004-2549860	20041217
EP 1697358	A1	20060906	EP 2004-804906	20041217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

PRIORITY APPLN. INFO.:
EP 2003-29361 A 20031219
EP 2004-103550 A 20040723
WO 2004-EP53562 W 20041217

OTHER SOURCE(S): MARPAT 143:97361

Erich Leeser

GI



I

AB Title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkenyl, alkynyl, fluoroalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxycarbonyl, hydroxyalkyl, hydroxyalkenyl, hydroxyalkynyl, halo, alkenyl, alkynyl, fluoroalkyl, cyanomethyl, alkoxy, etc.; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxycarbonyl, fluoroalkoxyalkyl, imidazolyl, tetrazolyl, oxazolyl, etc.; Ar = (substituted) Ph, naphthyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl, indolyl, benzimidazolyl, furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, quinolinyl, isoquinolinyl; PG = alkyl, alkoxyalkyl, arylalkoxyalkyl, alkoxyalkoxyalkyl, tetrahydropyranyl, tetrahydrofuryl, alkylcarbonyl, arylcarbonyl, silyl, alkylsulfonyl, arylsulfonyl], were prepared Thus, 8-benzyloxy-2,3-dimethyl-7-(3-oxo-3-phenylpropyl)imidazo[1,2-a]pyridine-6-carboxylic acid dimethylamide (preparation given), KOCMe3, and RuCl2[(S)-BINAP][(S)-DAIPEN] in Me2CHOH were hydrogenated at 40 bar for 22 h to give 79% 8-benzyloxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-2,3-dimethylimidazo[1,2-a]pyridine-6-carboxylic acid dimethylamide in 74-75% enantiomeric excess.

IT 856449-23-5P 856449-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazopyridines as intermediates for dihydropyranoimidazopyridines)

RN 856449-23-5 CAPLUS

CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-[[dimethyl(1,1,2-trimethylpropyl)silyl]oxy]-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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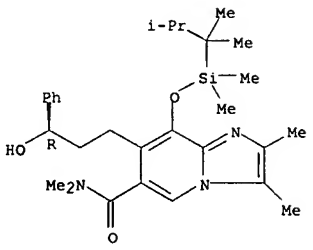
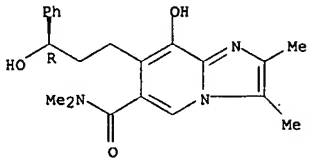


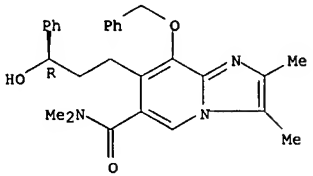
RN 856449-27-9 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 856449-21-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of imidazopyridines as intermediates for dihydropyranoimidazopyridines)
RN 856449-21-3 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 7-[(3R)-3-hydroxy-3-phenylpropyl]-N,N,2,3-tetramethyl-8-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

Erich Leeser

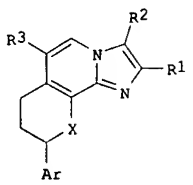
50613257

ACCESSION NUMBER: 2003:133276 CAPLUS
DOCUMENT NUMBER: 138:187769
TITLE: Preparation of pyranoimidazopyridines for treatment of gastrointestinal disorders.
INVENTOR(S): Zimmermann, Peter Jan; Simon, Wolfgang-Alexander; Postius, Stefan; Kromer, Wolfgang; Buhr, Wilm; Senn-Bilfinger, Joerg
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014123	A1	20030220	WO 2002-EP8505	20020731
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2452803	A1	20030220	CA 2002-2452803	20020731
EP 1419163	A1	20040519	EP 2002-794528	20020731
EP 1419163	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1541219	A	20041027	CN 2002-815601	20020731
JP 2005504761	T	20050217	JP 2003-519072	20020731
BR 2002011826	A	20050628	BR 2002-11826	20020731
AT 297931	T	20050715	AT 2002-794528	20020731
HU 200500330	A2	20050728	HU 2005-330	20020731
NZ 531520	A	20051028	NZ 2002-531520	20020731
PT 1419163	T	20051031	PT 2002-794528	20020731
ES 2243788	T3	20051201	ES 2002-2794528	20020731
IN 2003MN01151	A	20050218	IN 2003-MN1151	20031218
US 2005049272	A1	20050303	US 2004-485515	20040202
ZA 2004000918	A	20050420	ZA 2004-918	20040204
NO 2004000604	A	20040210	NO 2004-604	20040210
HK 1066213	A1	20051125	HK 2004-109042	20041116

PRIORITY APPLN. INFO.: EP 2001-119321 A 20010810
WO 2002-EP8505 W 20020731

OTHER SOURCE(S): MARPAT 138:187769
GI



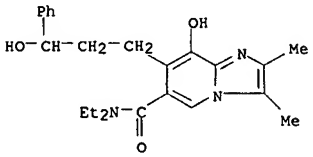
I

Erich Leeser

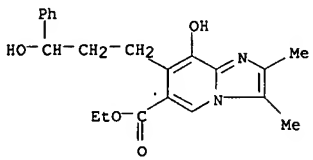
AB Title compds. [I; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkenyl, alkynyl, fluoroalkyl, hydroxyalkyl; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxycarbonyl, hydroxyalkyl, halo, alkenyl, alkynyl, fluoroalkyl, cyanomethyl; R3 = hydroxyalkyl, alkoxyalkyl, alkoxyalkoxyalkyl, alkoxycarbonyl, fluoroalkoxyalkyl, aminocarbonyl; Ar = (substituted) Ph, naphthyl, pyrrolyl, pyrazolyl, imidazoly, triazolyl, thienyl, furyl, benzofuryl, benzothienyl, thiazolyl, isoxazolyl, pyridinyl, pyrimidinyl, quinolinyl, isoquinolinyl], were prepared Thus, 2,3-dimethyl-8-hydroxy-7-[(3-phenyl-3-hydroxy)propyl]-N,N-diethylimidazo[1,2-a]pyridine-6-carboxamide (preparation given) was stirred with BF3.Et2O in CH2Cl2 for 4 h to give N,N-diethyl-2,3-dimethyl-9-phenyl-7H-8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine-6-carboxamide. The latter at 3.0 μmol/kg in rats gave 100% inhibition of gastric acid secretion.

IT 498529-45-6P, 2,3-Dimethyl-8-hydroxy-7-(3-phenyl-3-hydroxypropan-1-yl)-N,N-diethylimidazo[1,2-a]pyridine-6-carboxamide 498529-49-0P , Ethyl 2,3-dimethyl-7-(3-hydroxy-3-phenylpropan-1-yl)-8-hydroxyimidazo[1,2-a]pyridine-6-carboxylate 498529-54-7P, 2,3-Dimethyl-7-(3-hydroxy-3-phenylpropan-1-yl)-8-hydroxy-N,N-dimethylimidazo[1,2-a]pyridine-6-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyranoimidazopyridines for treatment of gastrointestinal disorders)

RN 498529-45-6 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, N,N-diethyl-8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2,3-dimethyl- (9CI) (CA INDEX NAME)

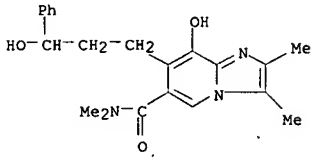


RN 498529-49-0 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2,3-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 498529-54-7 CAPLUS
CN Imidazo[1,2-a]pyridine-6-carboxamide, 8-hydroxy-7-(3-hydroxy-3-

phenylpropyl)-N,N,2,3-tetramethyl- (9CI) (CA INDEX NAME)

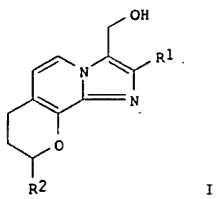


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:996647 CAPLUS
DOCUMENT NUMBER: 124:176092
TITLE: Preparation of 3-hydroxymethyldihydropyrano[2,3-c]imidazo[1,2-a]pyridines as gastric acid secretion inhibitors
INVENTOR(S): Briving, Carin Birgitta; Nordberg, Mats Peter; Starke, Carl Ingemar
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9527714	A1	19951019	WO 1995-SE376	19950407
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1995DE00561	A	20050311	IN 1995-DE561	19950328
ZA 9502860	A	19960112	ZA 1995-2860	19950406
AU 9522706	A	19951030	AU 1995-22706	19950407
PRIORITY APPLN. INFO.:			SE 1994-1197 A	19940411
			WO 1995-SE376 W	19950407

OTHER SOURCE(S): MARPAT 124:176092
GI



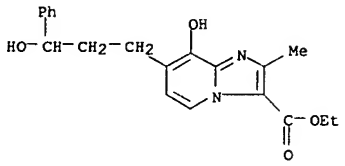
I

AB Title compds. [I; R1 = Me or Et; R2 = (un)substituted Ph] were prepared Thus, Et 8-benzyloxy-2-methylimidazo[1,2-a]pyridine-3-carboxylate was converted in 6 steps to I (R1 = Me, R2 = Ph) which had ED50 of 1.8μmol/kg intraduodenally for inhibition of pentagastrin and carbachol-induced gastric acid secretion in rats.

IT 173530-76-2P 173530-79-5P 173530-83-1P
173530-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-hydroxymethyldihydropyrano[2,3-c]imidazo[1,2-a]pyridines as gastric acid secretion inhibitors)

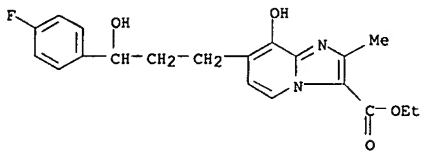
RN 173530-76-2 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 173530-79-5 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 7-[3-(4-fluorophenyl)-3-hydroxypropyl]-8-hydroxy-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

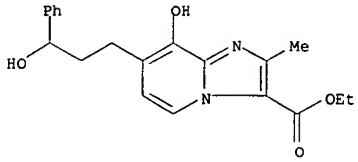


RN 173530-83-1 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-

phenylpropyl)-2-methyl-, ethyl ester, (-)- (9CI) (CA INDEX NAME)

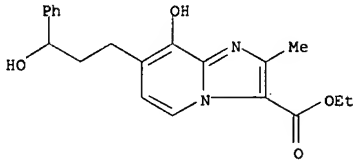
Rotation (-).



RN 173530-86-4 CAPLUS

CN Imidazo[1,2-a]pyridine-3-carboxylic acid, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl-, ethyl ester, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



L5 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:6490 CAPLUS

DOCUMENT NUMBER: 102:6490

TITLE: Antiulcer tricyclic imidazo[1,2-a]pyridines

INVENTOR(S): Gold, Elijah H.; Kaminski, James J.; Puchalski, Chester

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 8 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4468400	A	19840828	US 1982-450862	19821220
PRIORITY APPLN. INFO.:			US 1982-450862	19821220

OTHER SOURCE(S): CASREACT 102:6490; MARPAT 102:6490

GI For diagram(s), see printed CA Issue.

AB Tricyclic imidazopyridines I [R = H, alkyl, halo, HO, alkoxy, CF3; R1 = pyridyl, thienyl, imidazolyl, furanyl, (un)substituted Ph; R2 = OH, alkyl, hydroxyalkyl, R3 = H, alkyl, CH2CN, hydroxyalkyl, NO, CH2NC, NR4R5; R4, R5 = H, alkyl; Z = nonarom. 5- or 6-membered carbocycle, heterocycle; n = 0, 1, 2], useful in the treatment of peptic ulcer diseases (no data), were prepared Thus, imidazopyridineacetonitrile II (R6 = H) was condensed with

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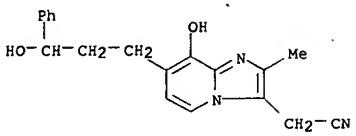
Me2N+:CH2I- to give II (R6 = Me2NCH2), which was treated with PhR7C:CH2 (R7 = 4-morpholinyl) and hydrolyzed to give II (R6 = PhCOCH2CH2). The latter compound was reduced with NaBH4 to give the diol which was cyclized with BF3·OEt2 to give pyranoimidazopyridine III.

IT 93749-60-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 93749-60-1 CAPLUS

CN Imidazo[1,2-a]pyridine-3-acetonitrile, 8-hydroxy-7-(3-hydroxy-3-phenylpropyl)-2-methyl- (9CI) (CA INDEX NAME)



Erich Leeser